EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	156146	naphthalene	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/10 08:14
S2	81	S1 and vanilloid	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 09:29
S3	52	tetrahydro AND S2	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 11:46
S4	13136	urea AND tetrahydro	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 11:47
S5	4161	S4 AND S1	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 11:47
S6	25	S4 and S2	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 11:50
S7	1709	Yura.in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 11:51

EAST Search History

S8	35	S7 and bayer.as.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/09 15:16
S10	106	"5,6,7,8-tetrahydro" "naphthalen"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:21
S11	37	S10 and (ureido or urea)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:24
S12	34	S10 and urea	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:24
S13	875	tetrahydro AND naphth AND urea	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:24
S14	16	S13 and capsaicin	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:35
S15	8	S13 and VR1	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:36

EAST Search History

S16	171	S13 and ion channel	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/09 15:36
S17	1462791	polymerization of isocyanates	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/10 08:14
S18	0	polymerization of isocyanates	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	ADJ	ON	2007/04/10 08:14
S19	1425	polymerization of isocyanates	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 08:15
S20	256	copolymerization of isocyanates amines	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 08:17
S21	167	polymerization of isocyanates anilines	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 08:28
S22	5374	isocyanates benzylamines	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 08:33

EAST Search History

S23	1625	S22 and polymerization	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 08:57
S24	14408	amino alcohols and phosgene	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:01
S25	19680	"amino alcohol"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:02
S26	35549	phosgene	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:02
S27	1796	S25 and S26	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:02
S28	1262	S27 and polymer	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:02
S29	12389	diamine and copolymerization	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:03

EAST Search History

S30	146	S28 aND S29	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:07
S31	716	ISOCYANATE AND CHLOROFORMATE CROSS-LINKING	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:19
S32	4742	polyisocyanurate	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:19
S33	572197	synthesis	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:19
S34	455	S32 and S33	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:23
S35	232	triisocyanurate	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/04/10 09:23

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:913140 CAPLUS
DOCUMENT NUMBER: 139:381259
TITLE: Preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists
INVENTOR(S): Yura, Takeshi; Mogi, Muneto; Urbahns, Klaus; Fujishima, Hiroshi; Masuda, Tsutomu; Moriwaki, Toshiya; Yoshida, Nagahiro; Kokubo, Toshio; Shiroo, Masahiro; Tajimi, Masaomi; Tsukimi, Yasuhiro; Yamamoto, Noriyuki
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; et al.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095420	A1	20031120	WO 2003-EP4395	20030428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229734	A1	20031111	AU 2003-229734	20030428
CA 2487238	A1	20031120	CA 2003-2487238	20030428
BR 2003009940	A	20050209	BR 2003-9940	20030428
EP 1506167	A1	20050216	EP 2003-722554	20030428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005524717	T	20050818	JP 2004-503441	20030428
CN 1665778	A	20050907	CN 2003-815988	20030428
NZ 536418	A	20060428	NZ 2003-536418	20030428
ZA 2004008993	A	20051110	ZA 2004-8993	20041105
NO 2004005359	A	20041207	NO 2004-5359	20041207
US 2006258742	A1	20061116	US 2006-513848	20060602

PRIORITY APPLN. INFO.:	GB 2002-10512	A	20020508
	GB 2002-27262	A	20021121
	WO 2003-EP4395	W	20030428

OTHER SOURCE(S): MARPAT 139:381259
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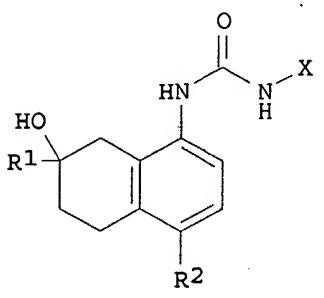

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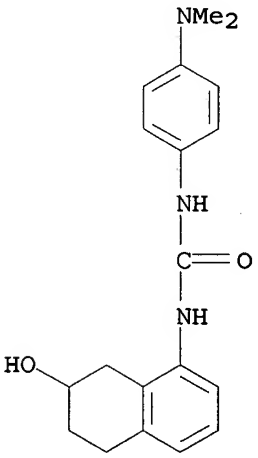
AB Title compds. I [R1, R2 = H, alkyl; X = alkyl, YR3; Y = bond, (un)substituted CH2, CH2CH2; R3 = (un)substituted Ph, naphthyl] were prepared for use as VR1 antagonists useful in treating urgent urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence, inflammatory disorders such as asthma and COPD. Thus, 7-ethoxy-5,8-dihydronaphthalen-1-ylamine, prepared from 8-amino-2-naphthol by N-protection, ethylation, deprotection, and reduction, was treated with 4,3-Cl(F3C)C6H3NCO to give I [R1, R2 = H, X = 4,3Cl(F3C)C6H3] which had IC50 for inhibition of capsaicin-induced Ca influx in the human VR1-transfected CHO cell line ≤ 0.1 μM.

IT **624728-68-3P 624729-14-2P 624729-15-3P 624729-17-5P 624729-34-6P 624729-35-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists)

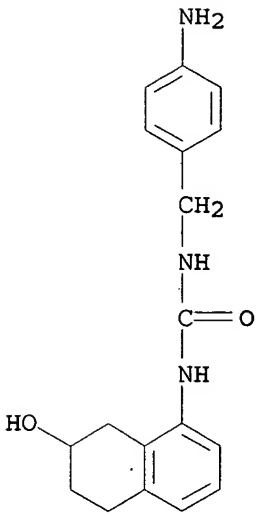
RN 624728-68-3 CAPLUS

CN Urea, N-[4-(dimethylamino)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 624729-14-2 CAPLUS

CN Urea, N-[(4-aminophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 624729-15-3 CAPLUS
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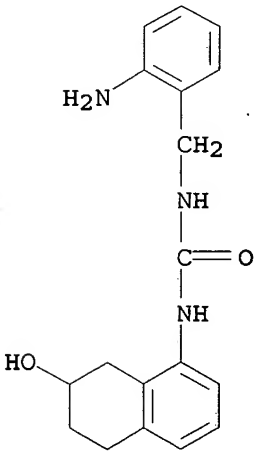

RN 624729-17-5 CAPLUS
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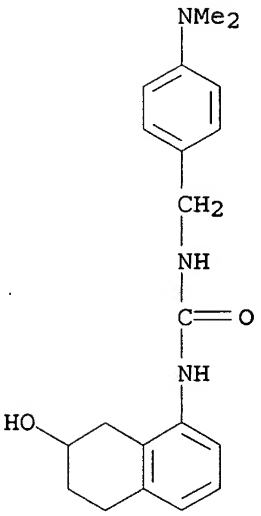
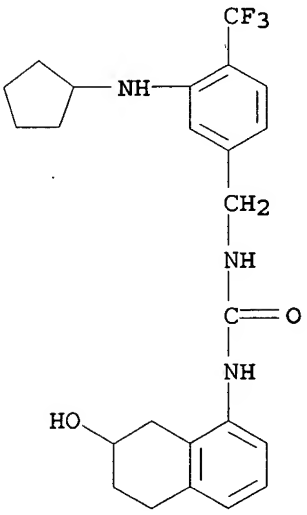
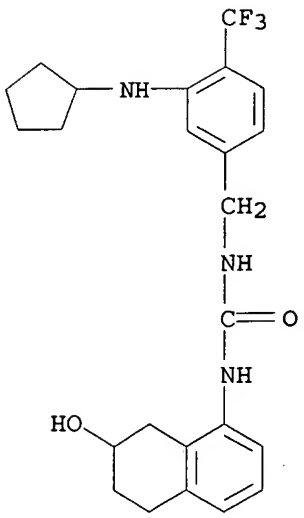


RN 624729-34-6 CAPLUS
CN Urea, N-[[3-(cyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 624729-35-7 CAPLUS
CN Urea, N-[[3-(cyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:133223 CAPLUS
DOCUMENT NUMBER: 138:169972
TITLE: Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists
INVENTOR(S): Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda, Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag, Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro
PATENT ASSIGNEE(S): Bayer AG, Germany
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014064	A1	20030220	WO 2002-EP8493	20020731
WO 2003014064	A8	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003055209	A	20030226	JP 2001-232503	20010731
CA 2455754	A1	20030220	CA 2002-2455754	20020731
AU 2002325381	A1	20030224	AU 2002-325381	20020731
EP 1414788	A1	20040506	EP 2002-758413	20020731
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JP 2005501873	T	20050120	JP 2003-524319	20020731
US 2004259875	A1	20041223	US 2004-485481	20040726
PRIORITY APPLN. INFO.:			JP 2001-232503 A	20010731
			JP 2001-392310 A	20011225
			WO 2002-EP8493 W	20020731

OTHER SOURCE(S): MARPAT 138:169972
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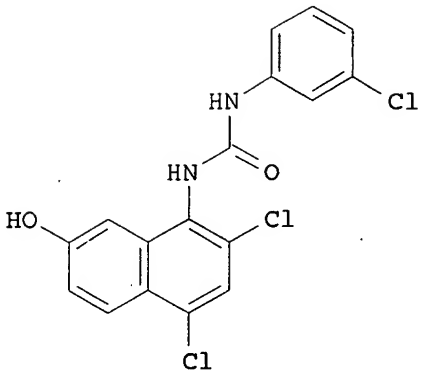


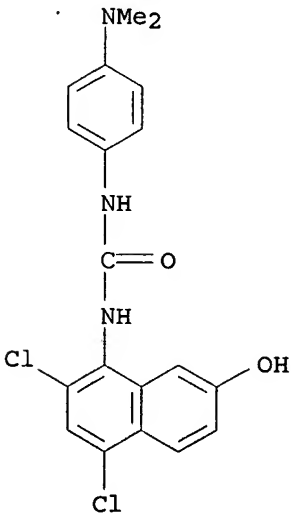
I

AB The title compds. R7Q(Y)C(O)NXR6 [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R6, R7 = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given)
with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC50 of ≤ 10 nM for VR1.

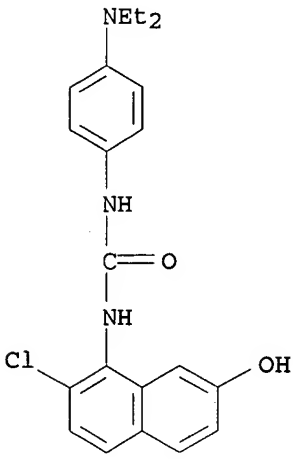
IT **497148-60-4P 497148-63-7P 497149-70-9P**
497150-61-5P 497151-05-0P 497151-08-3P
497151-31-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

RN 497148-60-4 CAPLUS

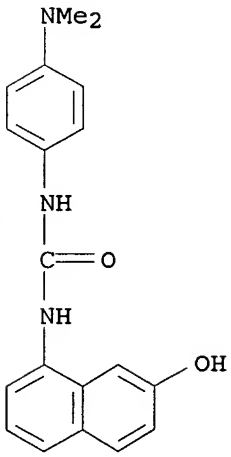
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)



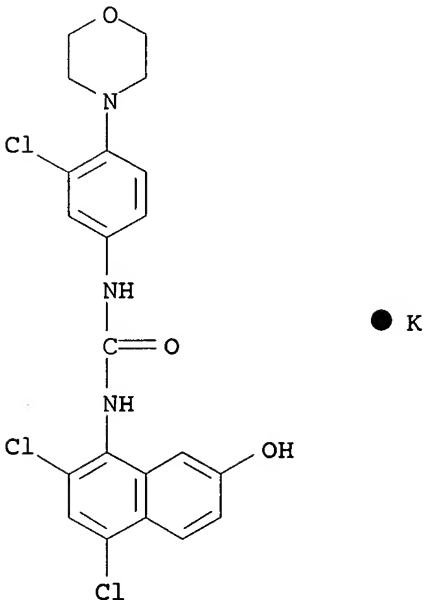
RN 497148-63-7 CAPLUS
CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[4-(diethylamino)phenyl]-(9CI) (CA INDEX NAME)



RN 497149-70-9 CAPLUS
CN Urea, N-[4-(dimethylamino)phenyl]-N'-(7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 497150-61-5 CAPLUS
CN Urea, N-[3-chloro-4-(4-morpholinyl)phenyl]-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-, monopotassium salt (9CI) (CA INDEX NAME)



● K

RN 497151-05-0 CAPLUS
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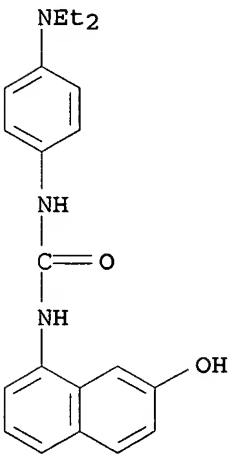

RN 497151-08-3 CAPLUS
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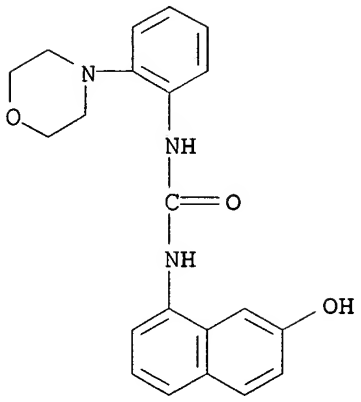

RN 497151-31-2 CAPLUS
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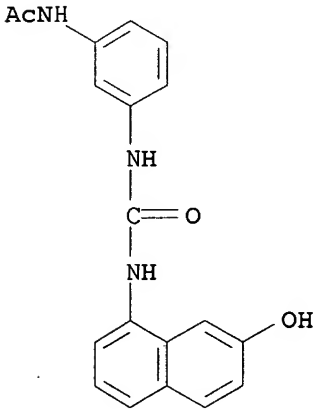

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:515474 CAPLUS
DOCUMENT NUMBER: 141:71359
TITLE: Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
INVENTOR(S): Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052846	A1	20040624	WO 2003-EP13453	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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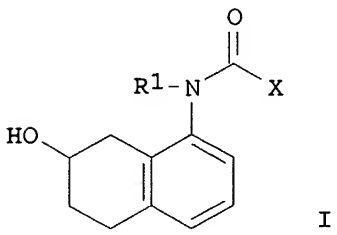
CA 2508618	A1	20040624	CA 2003-2508618	20031128
AU 2003294748	A1	20040630	AU 2003-294748	20031128
EP 1569896	A1	20050907	EP 2003-785688	20031128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006509018	T	20060316	JP 2004-557951	20031128
US 2006128704	A1	20060615	US 2005-537482	20051118
PRIORITY APPLN. INFO.:			EP 2002-27523 A	20021206
			WO 2003-EP13453 W	20031128

OTHER SOURCE(S): MARPAT 141:71359
GI



I

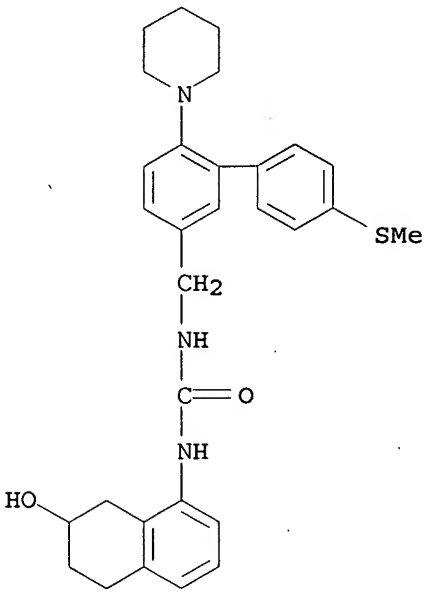
AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT **711016-14-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

RN 711016-14-7 CAPLUS

CN Urea, N-[[4'-(methylthio)-6-(1-piperidinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

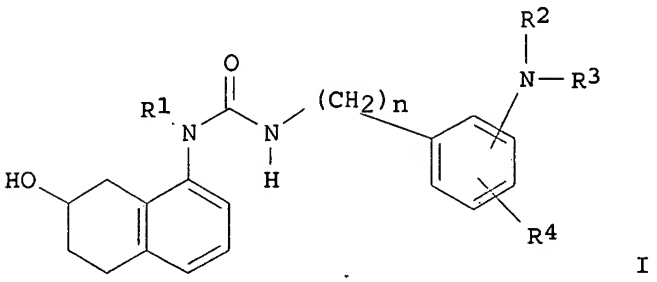


ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:515473 CAPLUS
DOCUMENT NUMBER: 141:71358
TITLE: Preparation of tetrahydronaphthalene derivatives as vanilloid receptor antagonists
INVENTOR(S): Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany; Urbahns, Klaus
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052845	A1	20040624	WO 2003-EP13452	20031128
WO 2004052845	A8	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508845	A1	20040624	CA 2003-2508845	20031128
AU 2003288200	A1	20040630	AU 2003-288200	20031128
EP 1572632	A1	20050914	EP 2003-780088	20031128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006509017	T	20060316	JP 2004-557950	20031128
US 2006135505	A1	20060622	US 2005-537217	20051118

PRIORITY APPLN. INFO.: EP 2002-27528 A 20021209
WO 2003-EP13452 W 20031128

OTHER SOURCE(S): MARPAT 141:71358
GI



I

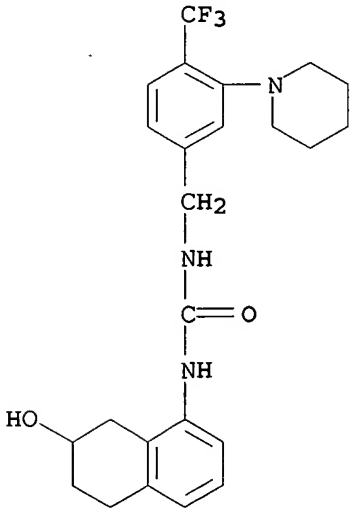
AB The title compds. I [n = 0 - 6; R1 = H, alkyl; R2 = alkenyl, alkynyl, alkyl substituted by amino, etc.; R3 = H, alkenyl, alkynyl, alkyl optionally substituted by amino, etc.; or NR2R3 = heterocyclic ring (further details on said heterocyclic ring are given); R4 = H, halo, alkylthio, alkyl optionally substituted by mono-, di-, tri-halogen, etc.]

are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of compds. of this invention was demonstrated.

IT **710954-91-9P 710954-94-2P 710954-97-5P**
710955-00-3P 710955-02-5P 710955-04-7P
710955-06-9P 710955-08-1P 710955-10-5P
710955-12-7P 710955-14-9P 710955-18-3P
710955-20-7P 710955-22-9P 710955-24-1P
710955-26-3P 710955-30-9P 710955-32-1P
710955-35-4P 710955-37-6P 710955-39-8P
710955-45-6P 710955-47-8P 710955-49-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vanilloid receptor antagonists)

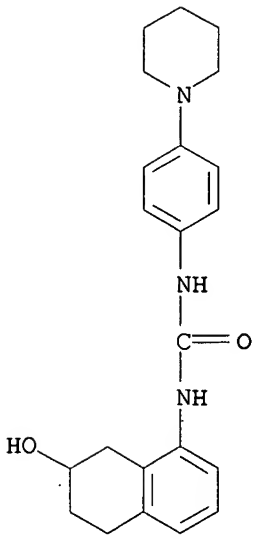
RN 710954-91-9 CAPLUS

CN Urea, N-[[3-(1-piperidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

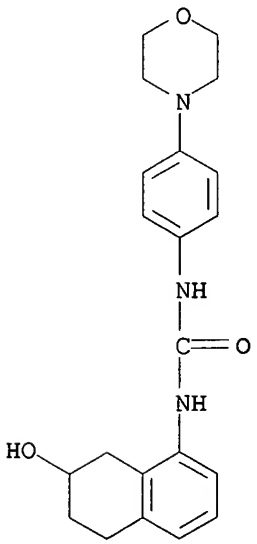


RN 710954-94-2 CAPLUS

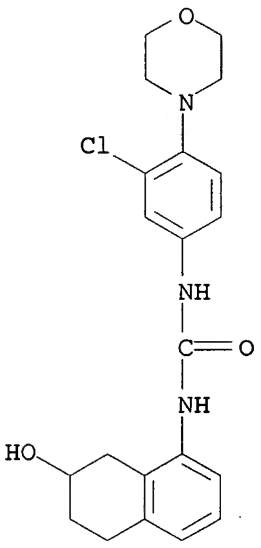
CN Urea, N-[4-(1-piperidinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



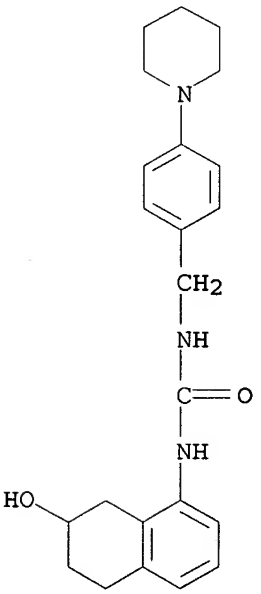
RN 710954-97-5 CAPLUS
CN Urea, N-[4-(4-morpholinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



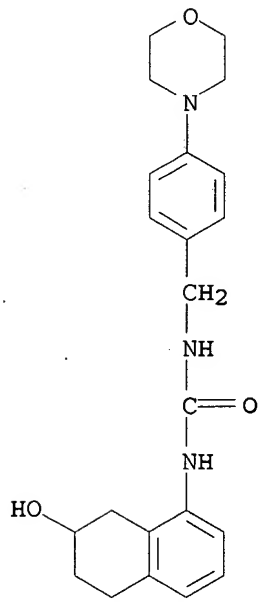
RN 710955-00-3 CAPLUS
CN Urea, N-[3-chloro-4-(4-morpholinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



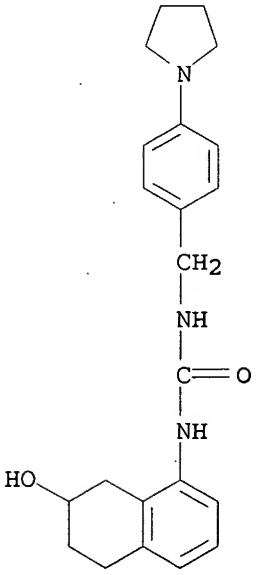
RN 710955-02-5 CAPLUS
CN Urea, N-[[4-(1-piperidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



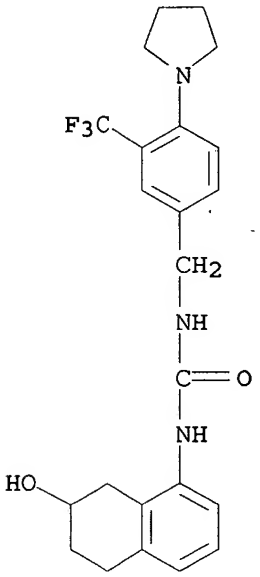
RN 710955-04-7 CAPLUS
CN Urea, N-[[4-(4-morpholinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



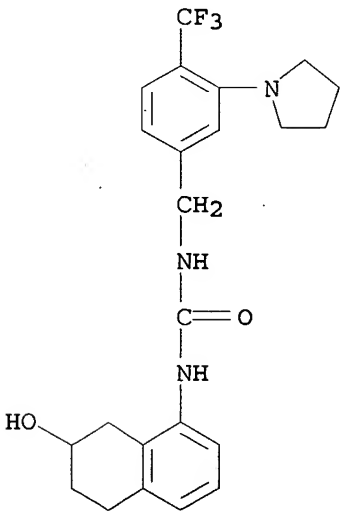
RN 710955-06-9 CAPLUS
CN Urea, N-[[4-(1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



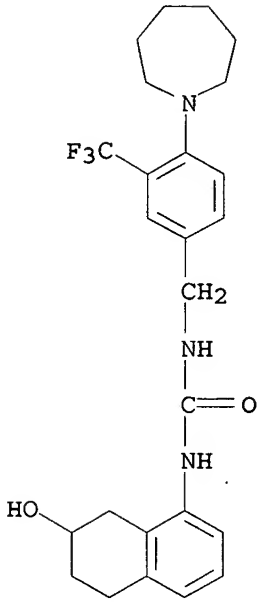
RN 710955-08-1 CAPLUS
CN Urea, N-[[4-(1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



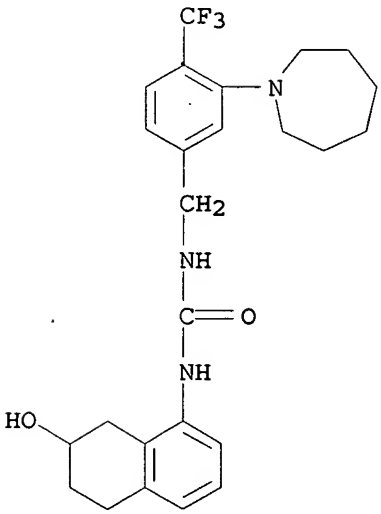
RN 710955-10-5 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



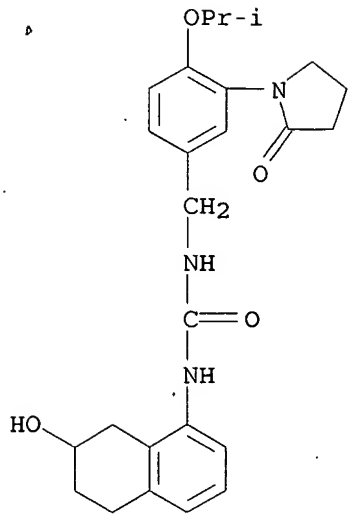
RN 710955-12-7 CAPLUS
CN Urea, N-[[4-(hexahydro-1H-azepin-1-yl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



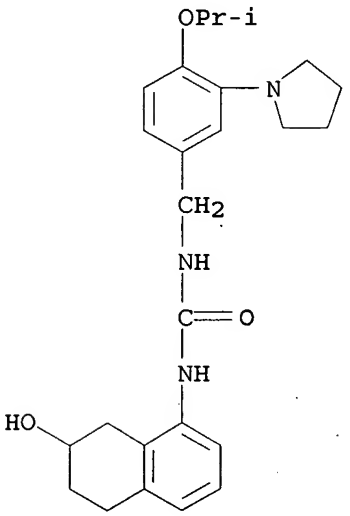
RN 710955-14-9 CAPLUS
CN Urea, N-[[3-(hexahydro-1H-azepin-1-yl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



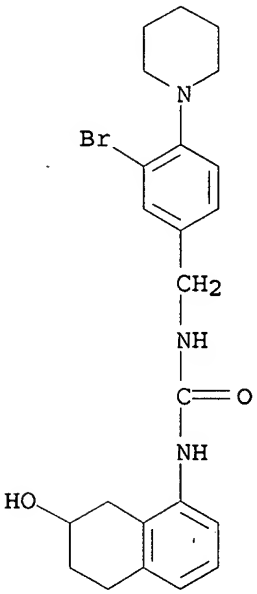
RN 710955-18-3 CAPLUS
CN Urea, N-[[4-(1-methylethoxy)-3-(2-oxo-1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 710955-20-7 CAPLUS
CN Urea, N-[[4-(1-methylethoxy)-3-(1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

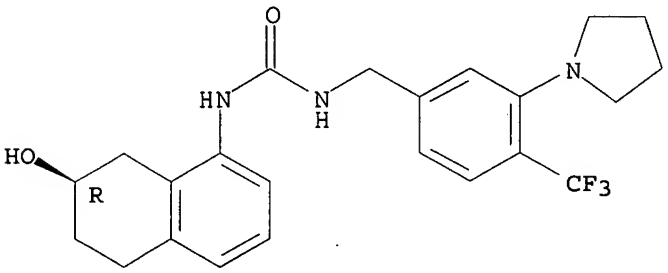


RN 710955-22-9 CAPLUS
CN Urea, N-[[3-bromo-4-(1-piperidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



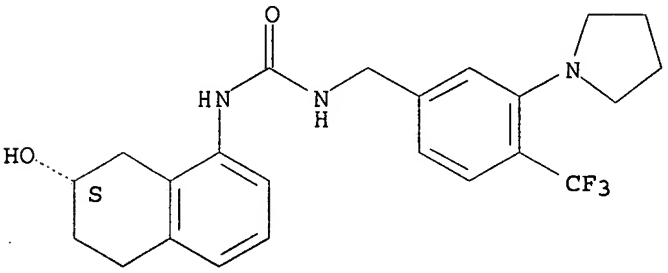
RN 710955-24-1 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

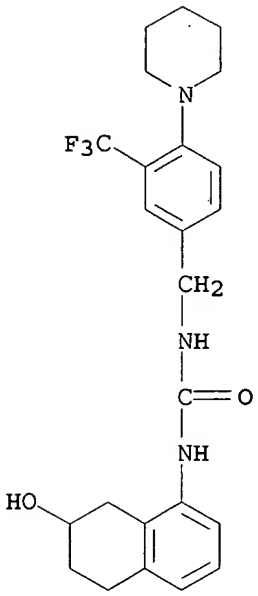


RN 710955-26-3 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

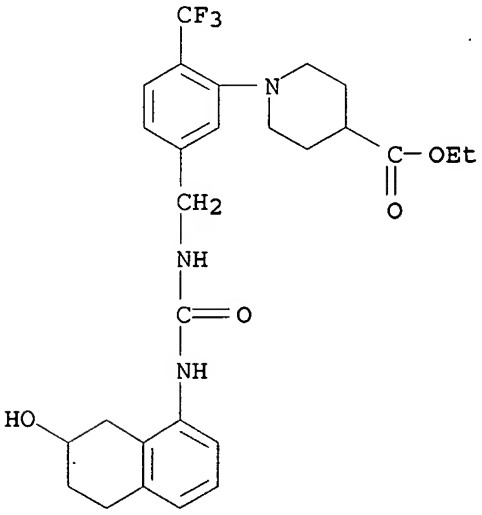
Absolute stereochemistry.



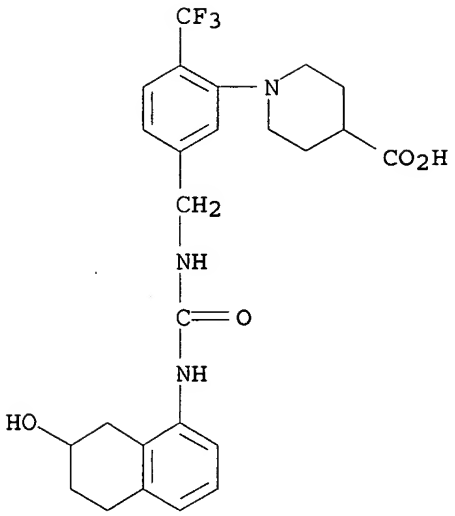
RN 710955-30-9 CAPLUS
CN Urea, N-[[4-(1-piperidinyl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



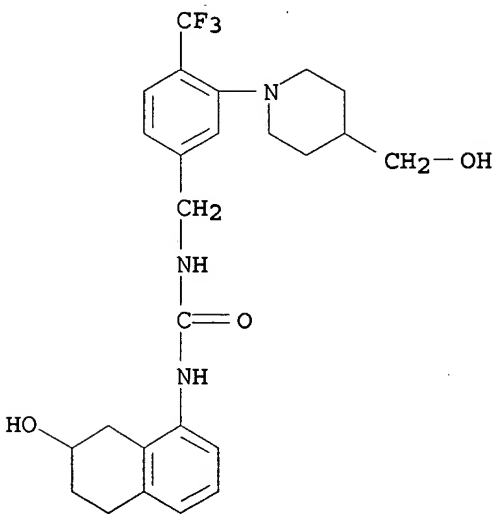
RN 710955-32-1 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



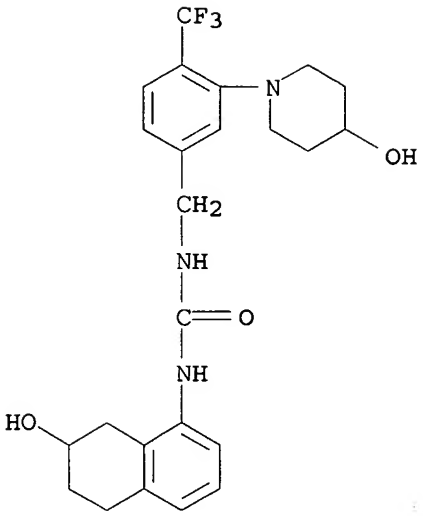
RN 710955-35-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



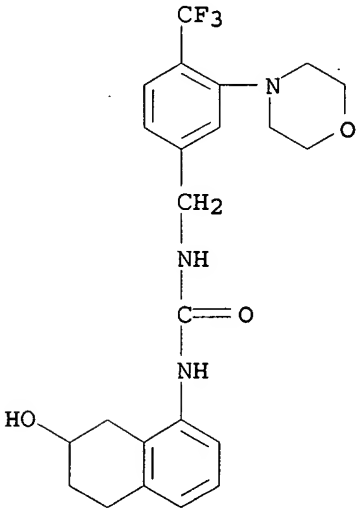
RN 710955-37-6 CAPLUS
CN Urea, N-[[3-[4-(hydroxymethyl)-1-piperidinyl]-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 710955-39-8 CAPLUS
CN Urea, N-[[3-(4-hydroxy-1-piperidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

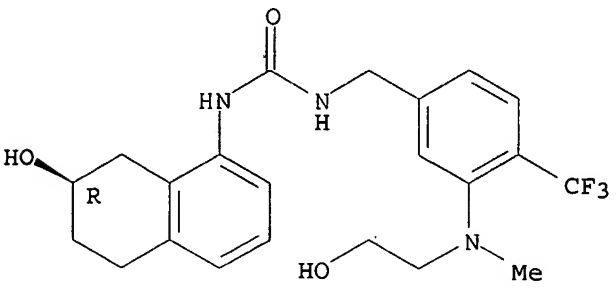


RN 710955-45-6 CAPLUS
CN Urea, N-[[3-(4-morpholinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



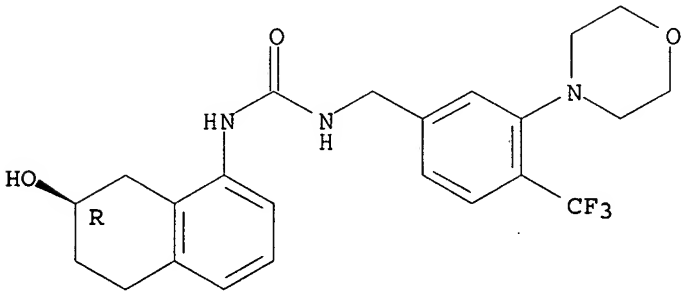
RN 710955-47-8 CAPLUS
CN Urea, N-[[3-[(2-hydroxyethyl)methylamino]-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 710955-49-0 CAPLUS
CN Urea, N-[[3-(4-morpholinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

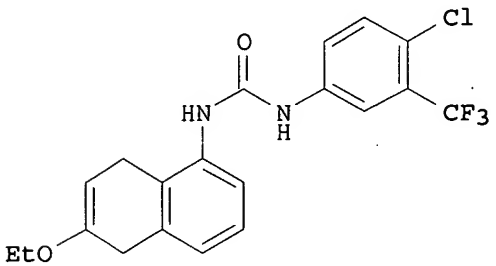
Absolute stereochemistry.



L8 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

2005:395257 Document No. 142:447018 Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases. Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang (Bayer Healthcare Ag, Germany). PCT Int. Appl. WO 2005040100 A1 20050506, 149 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-EP11008 20041002. PRIORITY: EP 2003-23288 20031015; EP 2003-23287 20031015; EP 2003-25573 20031108; EP 2003-25572 20031108.

GI



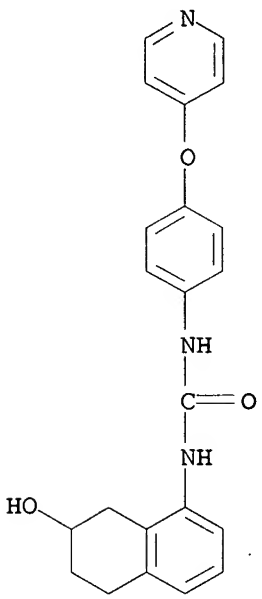
II

AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8-dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E =cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH2)n-R4, etc.; n = 0-6; R4 = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC50 in the range of 0.1 to 0.6 μM in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity

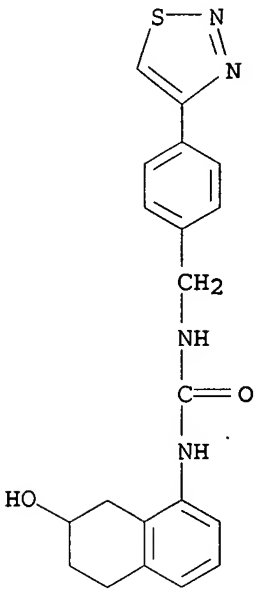
(detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

IT **851266-51-8P 851266-55-2P 851266-58-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)

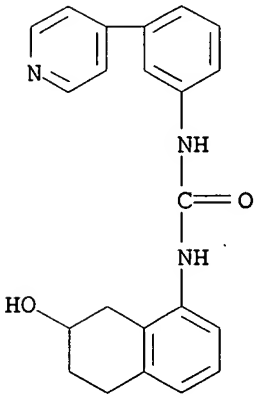
RN 851266-51-8 CAPLUS
CN Urea, N-[4-(4-pyridinyloxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



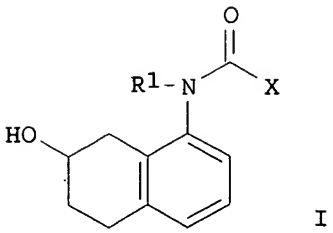
RN 851266-55-2 CAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 851266-58-5 CAPLUS
CN Urea, N-[3-(4-pyridinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
2004:515474 Document No. 141:71359 Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists. Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya (Bayer Healthcare Ag, Germany). PCT Int. Appl. WO 2004052846 A1 20040624, 81 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP13453 20031128. PRIORITY: EP 2002-27523 20021206.
GI

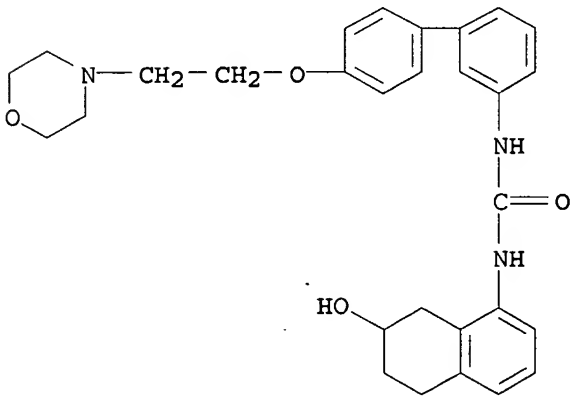


I

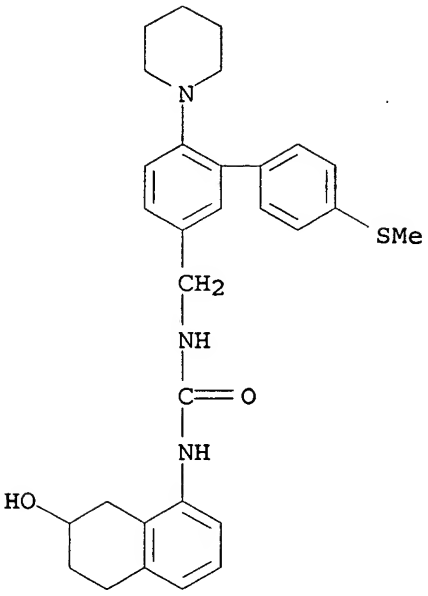
AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT **711015-67-7P 711016-14-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

RN 711015-67-7 CAPLUS
CN Urea, N-[4'-[2-(4-morpholinyl)ethoxy][1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



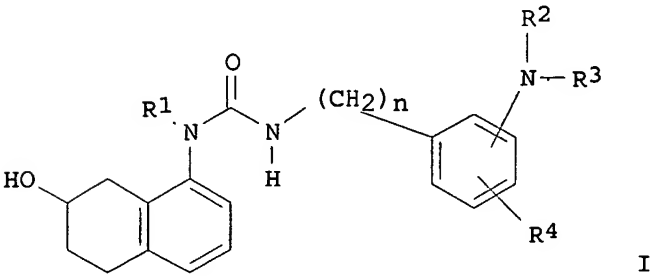
RN 711016-14-7 CAPLUS
CN Urea, N-[[4'-(methylthio)-6-(1-piperidinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

2004:515473 Document No. 141:71358 Preparation of tetrahydronaphthalene derivatives as vanilloid receptor antagonists. Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya (Bayer Healthcare Ag, Germany; Urbahns, Klaus). PCT Int. Appl. WO 2004052845 A1 20040624, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP13452 20031128. PRIORITY: EP 2002-27528 20021209.

GI



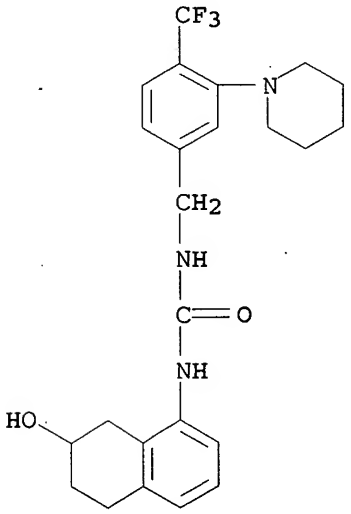
I

AB The title compds. I [n = 0 - 6; R1 = H, alkyl; R2 = alkenyl, alkynyl, alkyl substituted by amino, etc.; R3 = H, alkenyl, alkynyl, alkyl optionally substituted by amino, etc.; or NR2R3 = heterocyclic ring (further details on said heterocyclic ring are given); R4 = H, halo, alkylthio, alkyl optionally substituted by mono-, di-, tri-halogen, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention

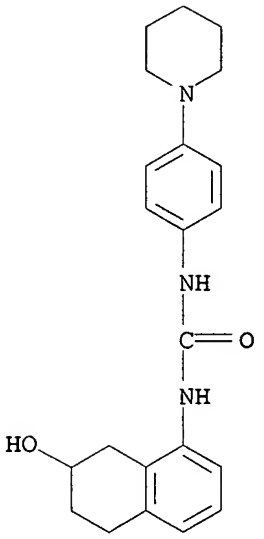
have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of compds. of this invention was demonstrated.

IT **710954-91-9P 710954-94-2P 710954-97-5P**
710955-00-3P 710955-02-5P 710955-04-7P
710955-06-9P 710955-08-1P 710955-10-5P
710955-12-7P 710955-14-9P 710955-18-3P
710955-20-7P 710955-22-9P 710955-24-1P
710955-26-3P 710955-28-5P 710955-30-9P
710955-32-1P 710955-35-4P 710955-37-6P
710955-39-8P 710955-41-2P 710955-43-4P
710955-45-6P 710955-49-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vanilloid receptor antagonists)

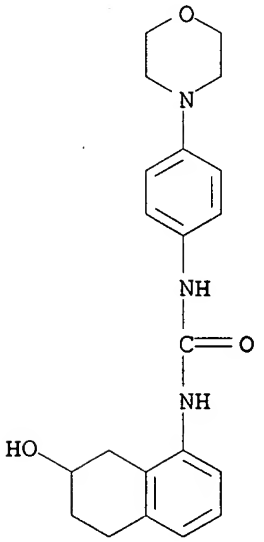
RN 710954-91-9 CAPLUS
CN Urea, N-[[3-(1-piperidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



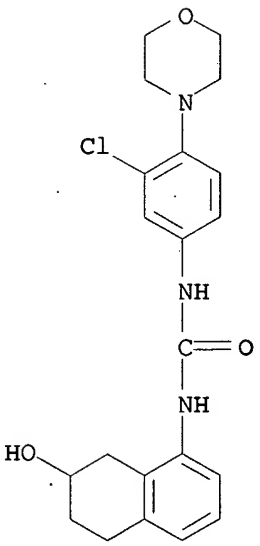
RN 710954-94-2 CAPLUS
CN Urea, N-[4-(1-piperidinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



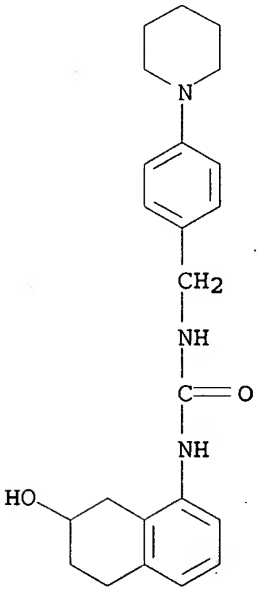
RN 710954-97-5 CAPLUS
CN Urea, N-[4-(4-morpholinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



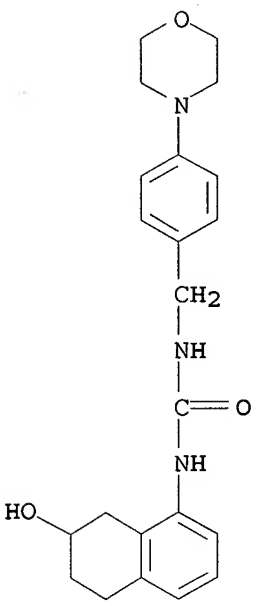
RN 710955-00-3 CAPLUS
CN Urea, N-[3-chloro-4-(4-morpholinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



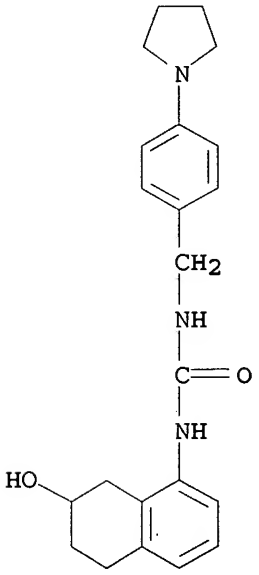
RN 710955-02-5 CAPLUS
CN Urea, N-[[4-(1-piperidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



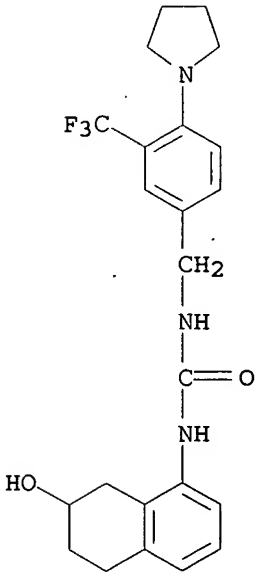
RN 710955-04-7 CAPLUS
CN Urea, N-[[4-(4-morpholinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



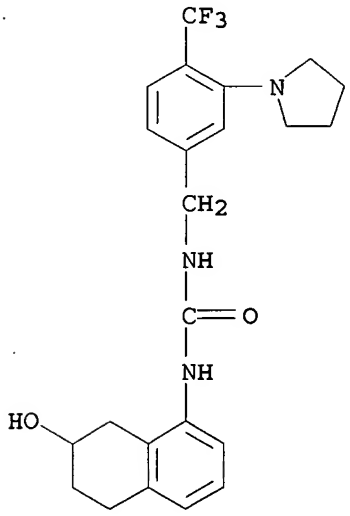
RN 710955-06-9 CAPLUS
CN Urea, N-[[4-(1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



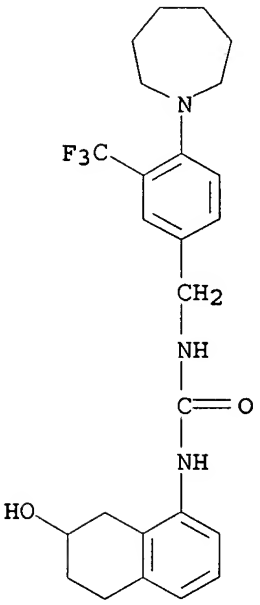
RN 710955-08-1 CAPLUS
CN Urea, N-[[4-(1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



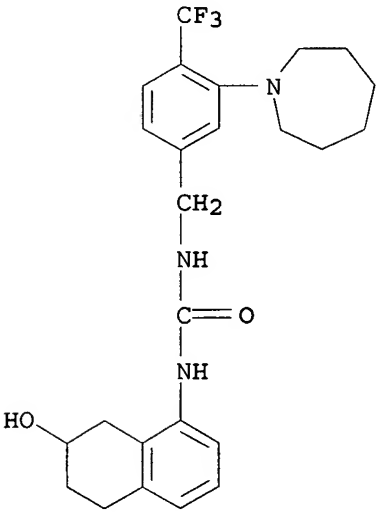
RN 710955-10-5 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



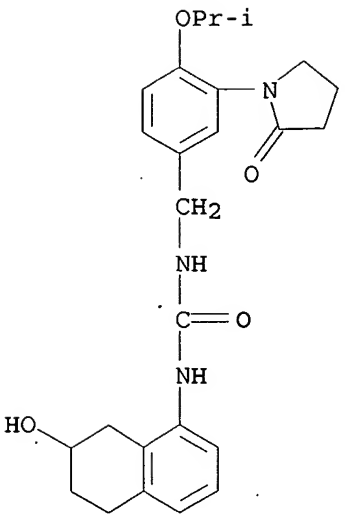
RN 710955-12-7 CAPLUS
CN Urea, N-[[4-(hexahydro-1H-azepin-1-yl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



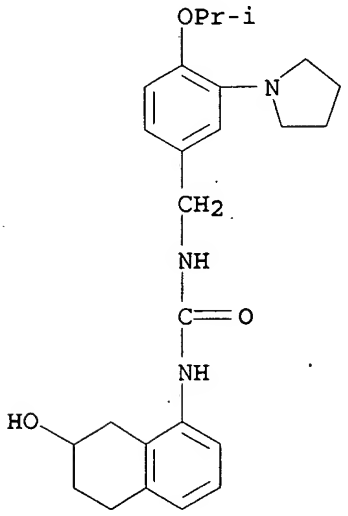
RN 710955-14-9 CAPLUS
CN Urea, N-[[3-(hexahydro-1H-azepin-1-yl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



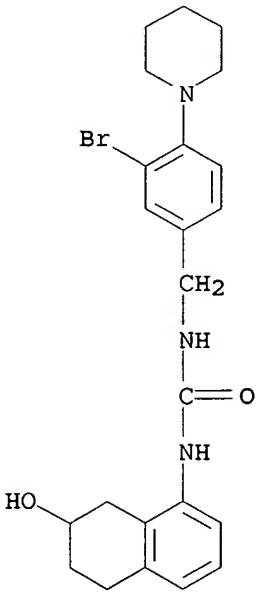
RN 710955-18-3 CAPLUS
CN Urea, N-[[4-(1-methylethoxy)-3-(2-oxo-1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 710955-20-7 CAPLUS
CN Urea, N-[[4-(1-methylethoxy)-3-(1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

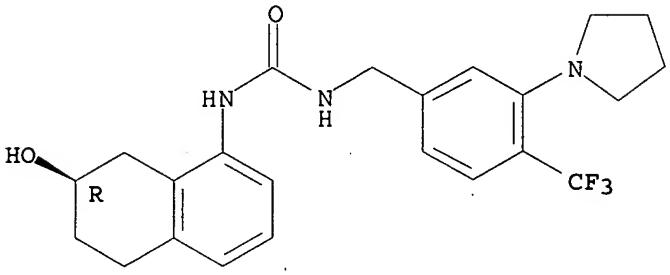


RN 710955-22-9 CAPLUS
CN Urea, N-[[3-bromo-4-(1-piperidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



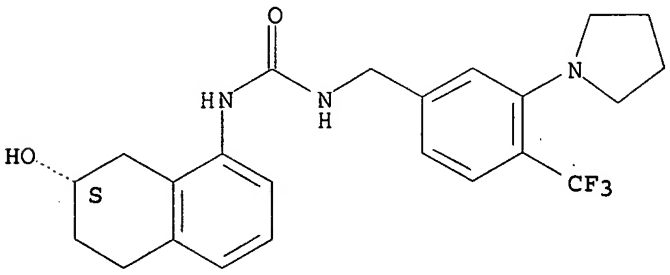
RN 710955-24-1 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



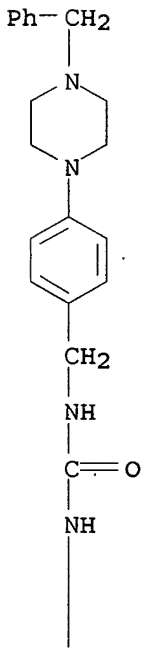
RN 710955-26-3 CAPLUS
CN Urea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

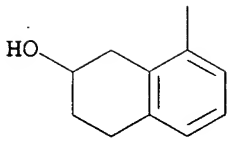


RN 710955-28-5 CAPLUS
CN Urea, N-[[4-[4-(phenylmethyl)-1-piperazinyl]phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

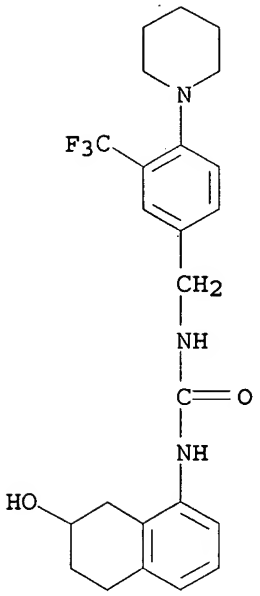
PAGE 1-A



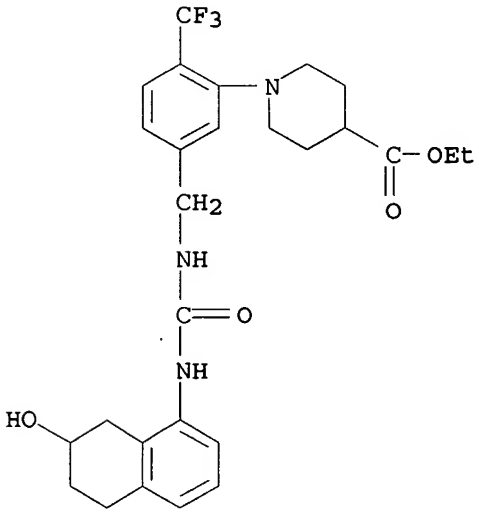
PAGE 2-A



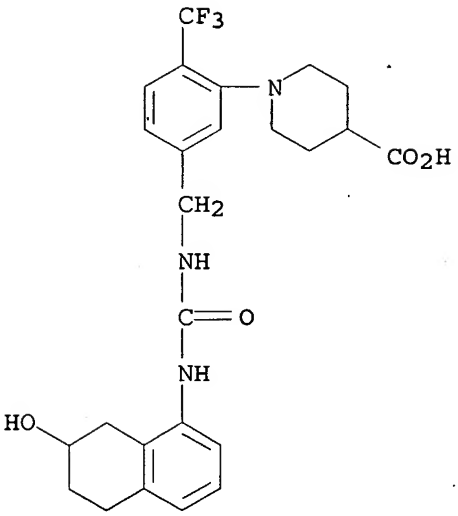
RN 710955-30-9 CAPLUS
CN Urea, N-[[4-(1-piperidinyl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



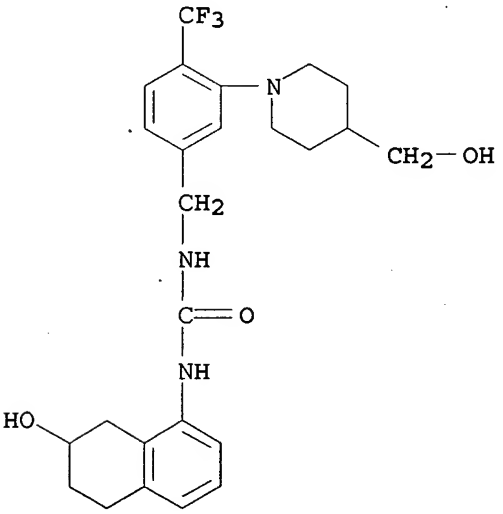
RN 710955-32-1 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



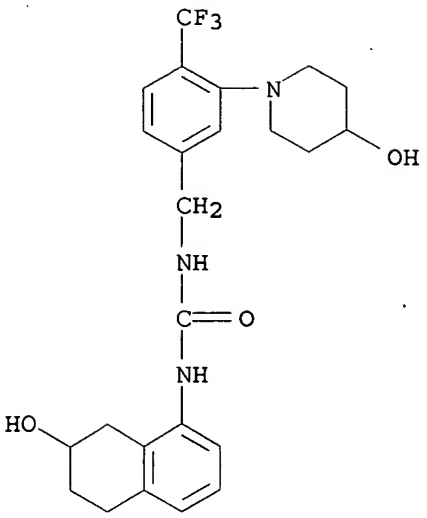
RN 710955-35-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



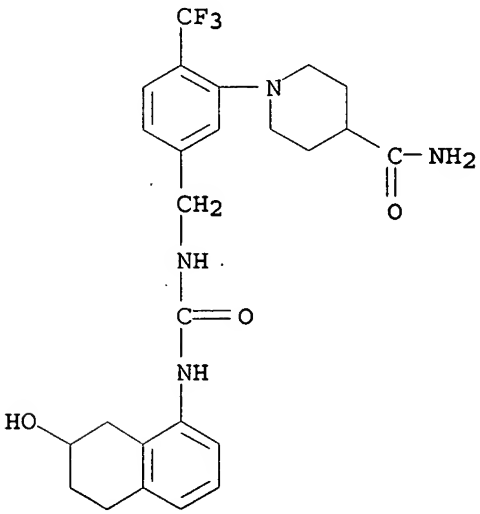
RN 710955-37-6 CAPLUS
CN Urea, N-[[3-[4-(hydroxymethyl)-1-piperidinyl]-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



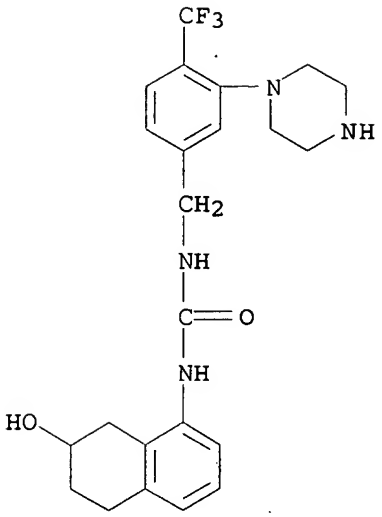
RN 710955-39-8 CAPLUS
CN Urea, N-[[3-(4-hydroxy-1-piperidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



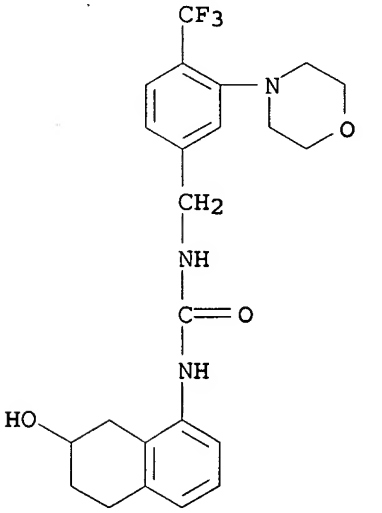
RN 710955-41-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 710955-43-4 CAPLUS
CN Urea, N-[[3-(1-piperazinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

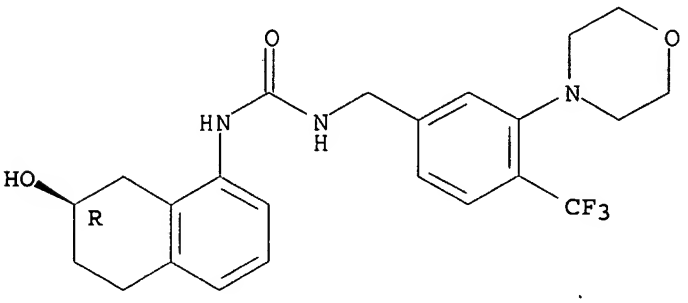


RN 710955-45-6 CAPLUS
CN Urea, N-[[3-(4-morpholinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 710955-49-0 CAPLUS
CN Urea, N-[[3-(4-morpholinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (9CI) (CA INDEX NAME)

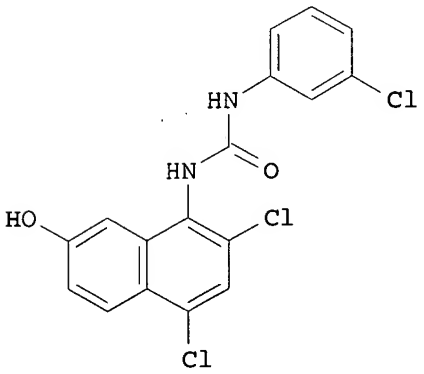
Absolute stereochemistry.



ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

2003:133223 Document No. 138:169972 Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists. Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda, Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag, Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro (Bayer AG, Germany). PCT Int. Appl. WO 2003014064 A1 20030220, 186 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-EP8493 20020731. PRIORITY: JP 2001-232503 20010731; JP 2001-392310 20011225.

GI



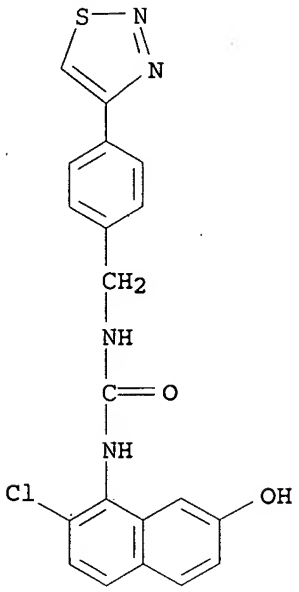
I

AB The title compds. R7Q(Y)C(O)NXR6 [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R6, R7 = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC50 of ≤ 10 nM for VR1.

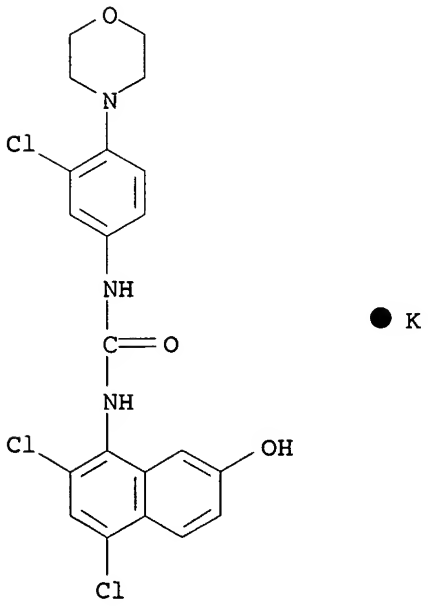
IT **497150-14-8P 497150-61-5P 497150-86-4P 497150-90-0P 497150-91-1P 497151-08-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

RN 497150-14-8 CAPLUS

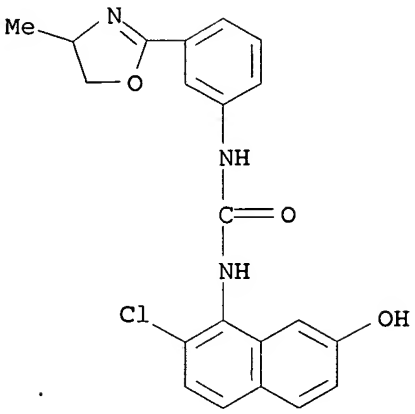
CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 497150-61-5 CAPLUS
CN Urea, N-[3-chloro-4-(4-morpholinyl)phenyl]-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-, monopotassium salt (9CI) (CA INDEX NAME)

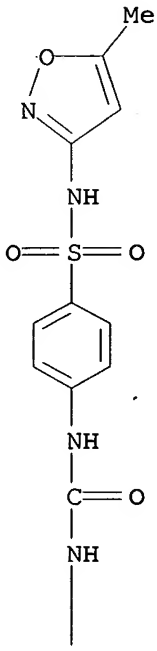


RN 497150-86-4 CAPLUS
CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[3-(4,5-dihydro-4-methyl-2-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

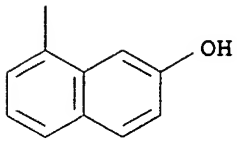


RN 497150-90-0 CAPLUS
CN Benzenesulfonamide, 4-[[[(7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-N-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



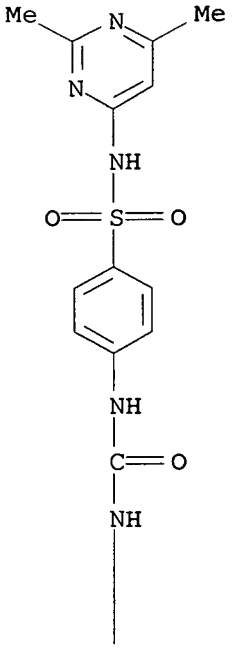
PAGE 2-A



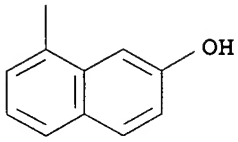
RN 497150-91-1 CAPLUS
CN Benzenesulfonamide, N-(2,6-dimethyl-4-pyrimidinyl)-4-[[[(7-hydroxy-1-

naphthalenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

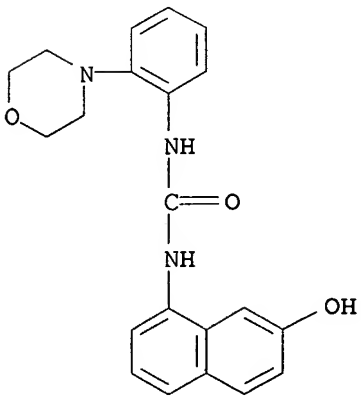
PAGE 1-A



PAGE 2-A



RN 497151-08-3 CAPLUS
CN Urea, N-(7-hydroxy-1-naphthalenyl)-N'-[2-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 1 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2007:16243 USPATFULL
TITLE: Reverse diffusion digital halftone quantization
INVENTOR(S): Case, Robert M., Canyon Lake, TX, UNITED STATES
PATENT ASSIGNEE(S): Skyward Optics, LLC (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007013952	A1	20070118
APPLICATION INFO.:	US 2006-513848	A1	20060831 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-345601, filed on 16 Jan 2003, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MEYERTONS, HOOD, KIVLIN, KOWERT & GOETZEL, P.C., 700 LAVACA, SUITE 800, AUSTIN, TX, 78701, US
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 13 Drawing Page(s)
LINE COUNT: 456
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 2 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2006:302382 USPATFULL
TITLE: Hydroxy tetrahydro-naphthalenylurea derivatives
INVENTOR(S): Yura, Takeshi, Aichi-ken, JAPAN
Mogi, Muneto, Nara-ken, JAPAN
Urbahns, Klaus, Lund, SWEDEN
Fujishima, Hiroshi, Nara-ken, JAPAN
Masuda, Tsutomu, Aichi-ken, JAPAN
Moriwaki, Toshiya, Nara-ken, JAPAN
Yoshida, Nagahiro, Kyoto-fu, JAPAN
PATENT ASSIGNEE(S): Bayer HeaithCare AG, Leverkusen, GERMANY, FEDERAL REPUBLIC OF, 51368 (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006258742	A1	20061116	
APPLICATION INFO.:	US 2003-513848	A1	20030428	(10)
	WO 2003-EP4395		20030428	
			20060602	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2002-10512	20020508
	GB 2002-27262	20021121

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516, US
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
LINE COUNT: 1856
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 3 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2006:159970 USPATFULL
TITLE: Tetrahydro-naphthalene derivatives as vanilloid receptor antagonists
INVENTOR(S): Tajimi, Masaomi, Aichi-ken, JAPAN
Kokubo, Toshio, Nara-ken, JAPAN
Shiroo, Masahiro, Cambridge, UNITED KINGDOM
Tsukimi, Yasuhiro, Hyogo-ken, JAPAN

Yura, Takeshi, Aichi-ken, JAPAN
Urbahns, Klaus, Lund, SWEDEN
Yamamoto, Noriyuki, Osaka-fu, JAPAN
Mogi, Muneto, Nara-ken, JAPAN
Fujishima, Hiroshi, Nara-ken, JAPAN
Masuda, Tsutomu, Aichi-ken, JAPAN
Yoshida, Nagahiro, Kyoto-fu, JAPAN
Moriwaki, Toshiya, Nara-ken, JAPAN
PATENT ASSIGNEE(S): Bayer Healthcare AG, Leverkusen, GERMANY, FEDERAL REPUBLIC OF, 51368 (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006135505	A1	20060622	
APPLICATION INFO.:	US 2003-537217	A1	20031128	(10)
	WO 2003-EP13452		20031128	
			20051118	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2002-27528	20021209

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516, US
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 1309
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 4 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2006:152263 USPATFULL
TITLE: Tetrahydro-naphthalene derivatives
INVENTOR(S): Tajimi, Masaomi, Aichi-ken, JAPAN
Kokubo, Toshio, Nara-ken, JAPAN
Shiroo, Masahiro, Cambridge, UNITED KINGDOM
Tsukimi, Yasuhiro, Hyogo-ken, JAPAN
Yura, Takeshi, Aichi-ken, JAPAN
Urbahns, Klaus, Lund, SWEDEN
Yamamoto, Noriyuki, Osaka-fu, JAPAN
Mogi, Muneto, Nara-ken, JAPAN
Fujishima, Hiroshi, Nara-ken, JAPAN
Masuda, Tsutomu, Aichi-ken, JAPAN
Yoshida, Nagahiro, Kyoto-fu, JAPAN
Moriwaki, Toshiya, Nara-ken, JAPAN
PATENT ASSIGNEE(S): Bayer HealthCare AG, Leverkusen, GERMANY, FEDERAL REPUBLIC OF, 51368 (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006128704	A1	20060615	
APPLICATION INFO.:	US 2003-537482	A1	20031128	(10)
	WO 2003-EP13453		20031128	
			20051118	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2002-27523	20021206

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516, US
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1

LINE COUNT: 1712
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2004:328050 USPATFULL
TITLE: Amine derivatives
INVENTOR(S): Yura, Takeshi, Nara-ken, JAPAN
Mogi, Muneto, Nara-ken, JAPAN
Ikegami, Yuka, Kyoto-fu, JAPAN
Masuda, Tsutomu, Aichi-ken, JAPAN
Kokubo, Toshio, Nara-ken, JAPAN
Urbahns, Klaus, Hyogo-ken, JAPAN
Lowinger, Timothy B, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Yoshida, Nagahiro, Kyoto-fu, JAPAN
Freitag, Joachim, Munchen, GERMANY, FEDERAL REPUBLIC OF
Meier, Heinrich, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Nopper, Reilinde, Grenzach-Whylen, GERMANY, FEDERAL REPUBLIC OF
Marumo, Makiko, Nara-ken, JAPAN
Shiroo, Masahiro, Cambridge, UNITED KINGDOM
Tajimi, Masaomi, Kyoto-fu, JAPAN
Takeshita, Keisuke, Kyoto-fu, JAPAN
Moriwaki, Toshiya, Nara-ken, JAPAN
Tsukimi, Yasuhiro, Hyogo-ken, JAPAN

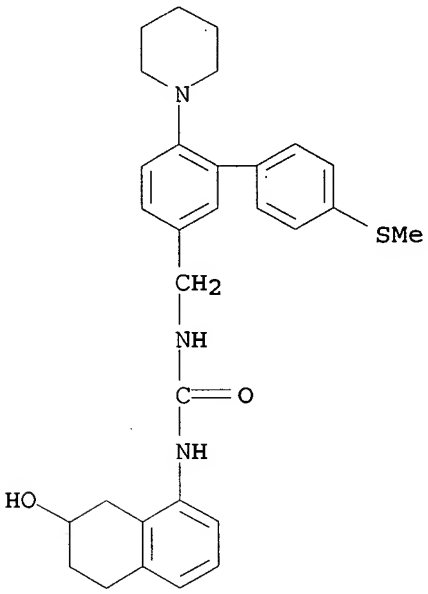
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004259875	A1	20041223	
APPLICATION INFO.:	US 2004-485481	A1	20040726	(10)
	WO 2002-EP8493		20020731	

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2001-232503	20010731
	JP 2001-392310	20011225

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 2712
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=>

L5 ANSWER 4 OF 5 USPATFULL on STN
IT **711016-14-7P**
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists).
RN 711016-14-7 USPATFULL
CN Urea, N-[[4'-(methylthio)-6-(1-piperidinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER:	2006:152263 USPATFULL
TITLE:	Tetrahydro-naphthalene derivatives
INVENTOR(S):	Tajimi, Masaomi, Aichi-ken, JAPAN Kokubo, Toshio, Nara-ken, JAPAN Shiroo, Masahiro, Cambridge, UNITED KINGDOM Tsukimi, Yasuhiro, Hyogo-ken, JAPAN Yura, Takeshi, Aichi-ken, JAPAN Urbahns, Klaus, Lund, SWEDEN Yamamoto, Noriyuki, Osaka-fu, JAPAN Mogi, Muneto, Nara-ken, JAPAN Fujishima, Hiroshi, Nara-ken, JAPAN Masuda, Tsutomu, Aichi-ken, JAPAN Yoshida, Nagahiro, Kyoto-fu, JAPAN Moriwaki, Toshiya, Nara-ken, JAPAN
PATENT ASSIGNEE(S):	Bayer HealthCare AG, Leverkusen, GERMANY, FEDERAL REPUBLIC OF, 51368 (non-U.S. corporation)

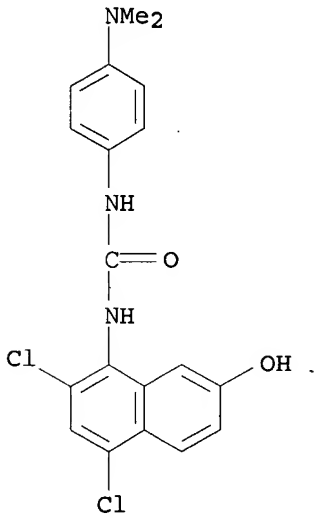
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006128704	A1	20060615	
APPLICATION INFO.:	US 2003-537482	A1	20031128	(10)
	WO 2003-EP13453		20031128	
			20051118	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2002-27523	20021206

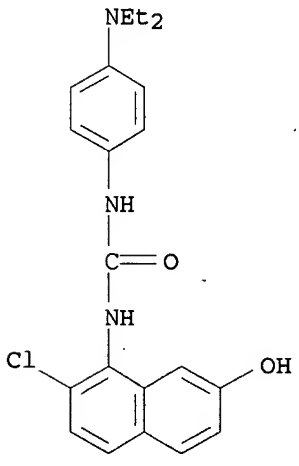
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516, US

NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 1712
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 5 USPATFULL on STN
IT **497148-60-4P 497148-63-7P 497149-33-4P**
497149-34-5P 497149-35-6P 497149-59-4P
497149-60-7P 497149-70-9P 497150-61-5P
497150-63-7P 497151-05-0P 497151-08-3P
497151-31-2P
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)
RN 497148-60-4 USPATFULL
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)



RN 497148-63-7 USPATFULL
CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[4-(diethylamino)phenyl]- (9CI) (CA INDEX NAME)



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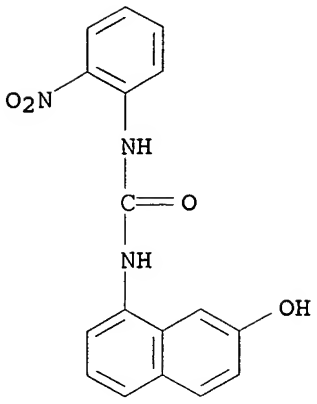

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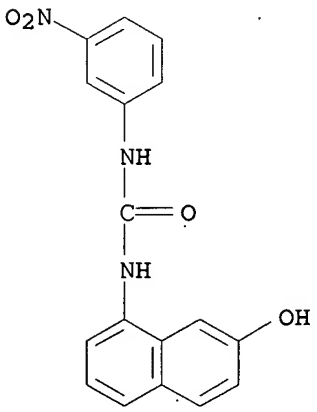

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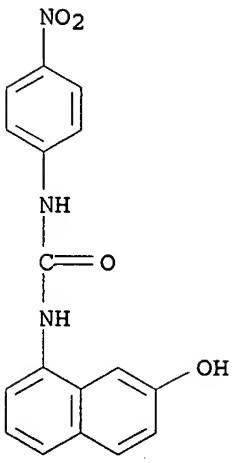

RN 497149-59-4 USPATFULL

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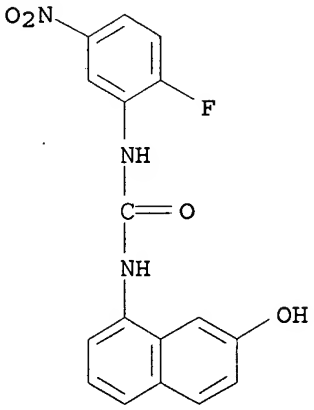
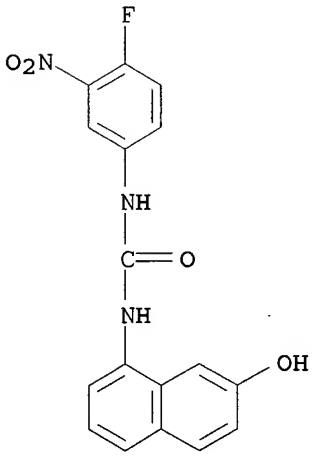
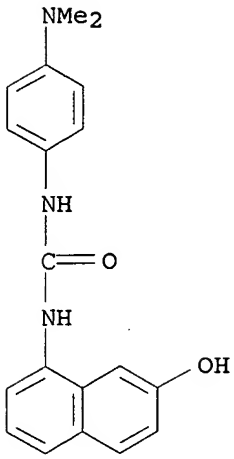


RN 497149-60-7 USPATFULL
CN Urea, N-(4-fluoro-3-nitrophenyl)-N'-(7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 497149-70-9 USPATFULL
CN Urea, N-[4-(dimethylamino)phenyl]-N'-(7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 497150-61-5 USPATFULL
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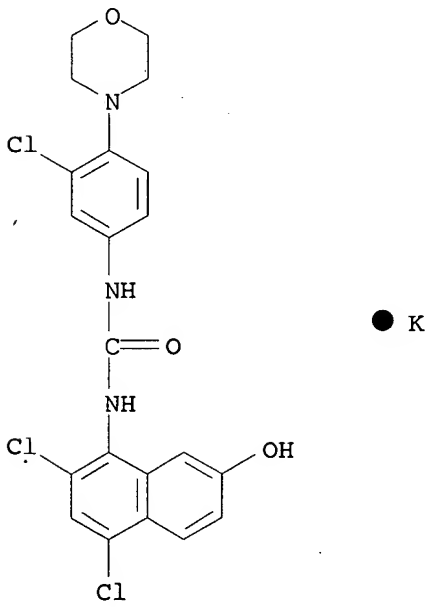

RN 497150-63-7 USPATFULL
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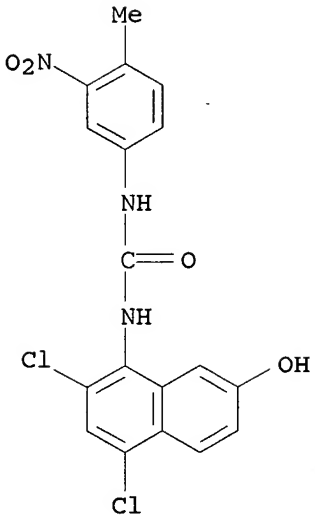

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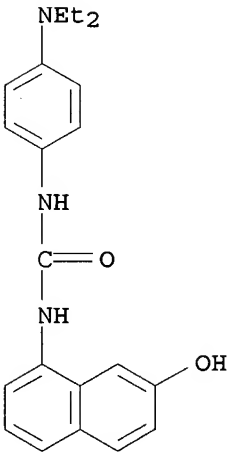

RN 497151-08-3 USPATFULL
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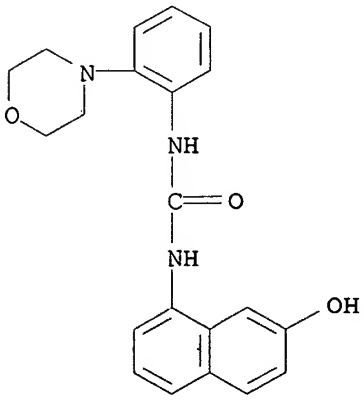
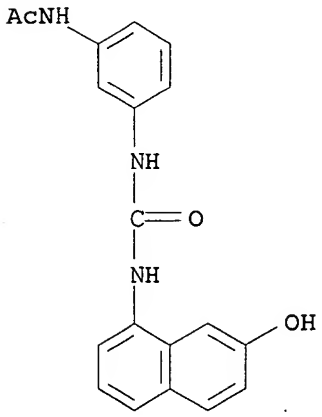


RN 497151-31-2 USPATFULL
CN Acetamide, N-[3-[[[(7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]phenyl]-
(9CI) (CA INDEX NAME)



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TITLE: Amine derivatives
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